## What is claimed is:

6

## 1 1. A compound, having the structure

2 wherein D1 and D2, independently, are selected from the

3 group consisting of NH and NH2, wherein N represents any

4 isotope of nitrogen, wherein H represents any isotope of

5 hydrogen; "~", independently, is selected from the group

consisting of a single bond and a double bond; B represents,

7 independently, any isotope of boxon; A1 and A5 are,

8 independently, selected from a group consisting of a C, a CX

9 moiety and an N, wherein C represents any isotope of carbon,

10 wherein X represents any atom capable of forming a single

11 bond with C; each A2, A3, A4, A6, A7, and A8 are,

12 independently, selected from a group consisting of a CX

moiety, a CXZ moiety, a CZ moiety, an NX moiety, and an O,

14 wherein X and Z, are, independently, selected from the group

15 consisting of any atom capable of forming a single bond and

16 any atom capable of forming a double bond with c or N and.

7 wherein O represents any isotope of oxygen; wherein each Y1,

Y2, Y3, and Y4 are, independently, selected from the group

19 consisting of a hydroxyl moiety and any reactive moiety that

20 converts to a hydroxyl moiety under physiologic conditions;

21 and L/represents a linker molecule (i) having a molecular

22 weight ranging between about 100 daltons and about 2000

23 da/tons, (ii) having a span ranging from about 20 Å to about

- the group consisting of a combination of C, O, N, S, and Ph atoms, connected by single bonds or by double bonds in a 26
- manner that does not violate the laws of chemistry and 27
- wherein & represents any isotope of sulfur and Ph represents 28
- any isotope of phosphorous. <29

The compound of claim 1 wherein the following 1 2.

2 structures

and

represent, independently, a binding moiety, wherein R 3

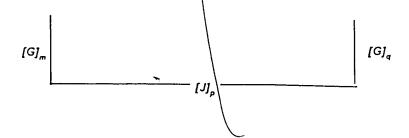
represents the remainder of the molecule. 4

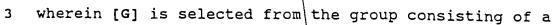
The compound of claim 2 wherein there are 4 atoms 1

positioned between the group consisting of D1 and D2 and B 2

3 of the binding moiety.

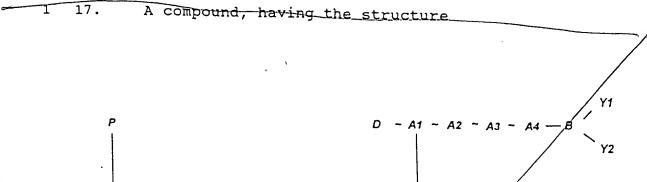
- 1 4. The compound of claim 2 wherein the binding moiety
- 2 is in an L-configuration.
- 1 5. The compound of claim 1 wherein Y1, Y2, Y3, and Y4
- 2 are hydroxyl groups.
- 1 6. The compound of claim 1 wherein the A4 bonded to the
- 2 B is in the L-configuration and the A5 bonded to the B is in
- 3 the L-configuration.
- 1 7. The compound of claim 2 wherein the binding moiety
- 2 is an L-amino acid residue comjugated to B, a boron
- 3 molecule.
- 1 8. The compound of claim 2 wherein the binding moiety
- 2 is selected from the group consisting of L-Lys-L-boroPro and
- 3 a derivative of L-Lys-L-boroPro.
- 1 9. The compound of claim 1 wherein the linker molecule
- 2 contains a functional group selected from the group
- 3 consisting of a carboxylate group, an amino group, a
- 4 sulfhydryl group, an imidazole group, an alkene group, an
- 5 acyl halogen group, and  $CH_2X$ , wherein X represents a
- 6 halogen.
- 1 10. The compound of claim | 1 wherein the linker molecule
- 2 is further defined as having the following structure:





- 4 carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is
- 5 selected from the group consisting of a CH2 molecule, a
- 6 chain of carbon atoms, a chain of nitrogen atoms, and a
- 7 chain of oxygen atoms; and m, p, and q represent an integer
- 8 from 1 to 50, inclusive.
- 1 11. The compound of claim 10 wherein [G] is an R group
- 2 selected from the group consisting of L-amino acid residues
- 3 selected from the group consisting of lysine, cysteine,
- 4 glutamic acid, aspartic acid, histidine, arginine,
- 5 glutamine, and asparagine and D-amino acid residues selected
- 6 from the group consisting of lysine, cysteine, glutamic
- 7 acid, aspartic acid, histidine, arginine, glutamine, and
- 8 asparagine.
- 1 12. The compound of claim 1 wherein the linker molecule
- 2 is selected from the group consisting of hexanedioic acid
- 3 (adipic acid), EGS, 1,4-diaminobutane, 1,4-dithiobutane,
- 4 dithiothreitol, lysine, cysteine, glutamic acid, aspartic
- 5 acid, histidine, arginine, glutamine, and asparagine.
- 1 13. The compound of claim 1 wherein the linker molecule
- 2 contains at least two amino groups when the binding moieties
- 3 contain glutamic acid residues.
- 1 14. The compound of claim 1 wherein the linker molecule
- 2 contains at least two amino groups when the binding moieties
- 3 contain aspartic acid residues.

- 1 15. The compound of claim 1 wherein the linker molecule
- 2 contains at least two sulfhydryl groups when the binding
- 3 moieties contain cysteine residues.
- 1 16. The compound of claim 1 wherein the linker molecule
- 2 span ranges from about 30 Å to about 100 Å.



2 wherein D is independently selected from the group

3 consisting of NH and NH, wherein N represents any isotope

4 of nitrogen, wherein H represents any isotope of hydrogen;

5 "-", independently, is selected from the group consisting of

6 a single bond and a double bond; I represents,

7 independently, any isotope of boron; A1 is, independently,

8 selected from the group consisting of a C, a CX moiety and

9 an N, wherein C represents any isotope of carbon, wherein X

10 represents any atom capable of forming a single bond with C;

11 each A2, A3, and A4 are, independently, selected from the

12 group consisting of a CX moiety, a CXZ moiety, a CZ moiety,

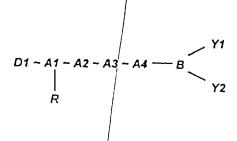
an NX moiety, and an O, wherein X and Z, independently, are

14 selected from the group consisting of any atom capable of

forming a single bond and any atom capable of forming a 15 double bond with C or N and wherein O represents any isotope 16 of oxygen; wherein each \*1 and Y2 are, independently, 17 selected from the group consisting of a hydroxyl moiety and 18 any reactive moiety that converts to a hydroxyl moiety under 19 physiologic conditions; L represents a linker molecule (i) 20 having a molecular weight ranging between about 100 daltons 21 and about 2000 daltons, (ii) kaving a span ranging from 22 about 20 Å to about 300 Å, and (iii) containing a chain of 23 atoms selected from the group consisting of a combination of 24 C, O, N, S, and Ph atoms, connected by single bonds or by 25 double bonds in a manner/that does not violate the laws of 26 chemistry and wherein s represents any isotope of sulfur and 27 Ph represents any isotope of phosphorous; and P represents a 28 peptide ranging from 3 to 30 amino acids having sufficient 29 sequence homology to bind to a naturally occurring receptor. 30

1 18. The compound of claim 17 wherein the following

2 structures



and

3 represent, independently, a binding moiety, wherein R

4 represents the remainder of the molecule.

1 19. The compound of claim 18 wherein there are 4 atoms

2 positioned between D and B of the binding moiety.

1 20. The compound of claim 18 wherein the binding moiety

2 is in an L-configuration.

1 21. The compound of claim 17 wherein Y1 and Y2 are.

2 hydroxyl groups.

- 1 22. The compound of claim 17 wherein the A4 bonded to
- 2 the B is in the L-configuration.
- 1 23. The compound of claim 18 wherein the binding moiety
- 2 is an L-amino acid residue conjugated to B, a boron
- 3 molecule.
- 1 24. The compound of claim 18 wherein the binding moiety
- 2 is selected from the group consisting of L-Lys-L-boroPro and
- 3 a derivative of L-Lys-L-boroPro.
- 1 25. The compound of claim 17 wherein the linker molecule
- 2 contains a functional group selected from the group
- 3 consisting of a carboxylate group, an amino group, a
- 4 sulfhydryl group, an imidazole group, an alkene group, an
- 5 acyl halogen group, and CH2X, wherein X represents a
- 6 halogen.
- 1 26. The compound of claim 17 wherein the linker molecule
- 2 is further defined as having the following structure:

[G]<sub>m</sub> [G]<sub>q</sub>

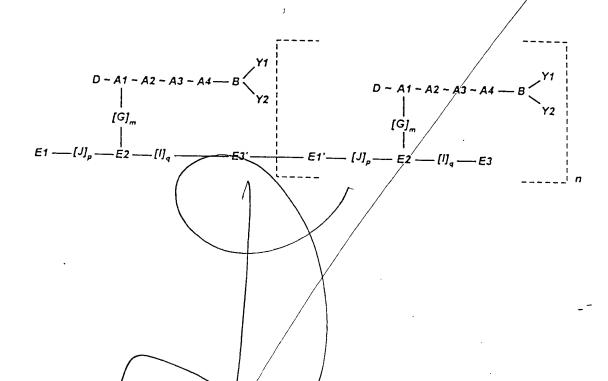
- wherein [G] is selected from the group consisting of a 3
- carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is 4
- selected from the group consisting of a CH2 molecule, a 5
- chain of carbon atoms, a chain of nitrogen atoms, and a 6
- chain of oxygen atoms; and m, p, and q represent an integer 7
- from 1 to 50, inclusive. 8
- The compound of claim 26 wherein [G] is an R group 1 27.
- selected from the group consisting of L-amino acid residues 2
- selected from the group consisting of lysine, cysteine, 3
- glutamic acid, aspartic acid, histidine, arginine, 4
- glutamine, and asparagine and D-amino acid residues selected 5
- from the group consisting  $\phi f$  lysine, cysteine, glutamic 6
- acid, aspartic acid, histidine, arginine, glutamine, and 7
- asparagine.
- The compound of claim 17 wherein the linker molecule 1 28.
- is selected from the group consisting of adipic acid, 2
- between 2 and 15 consecutive amino acid residues, 1,4-3
- diaminobutane, 1,4-dithiobutane, and dithiothreitol. 4
- The compound of claim 17 wherein the linker molecule 1 29.
- span ranges from about 30 Å to about 100 Å. 2
- The compound of claim \17 wherein the peptide ranges 1 30.
- from about 7 to 25 amino acids. 2

6

- 1 31. The compound of claim 17 wherein the peptide is selected from the group consisting of:
- 3 a) Myelin proteolipid protein peptide;
- b) Moth cytochrome C peptide;
- 5 c) tetanus toxin;
  - d) HIV-1 GP 120 peptide;
- 7 e) myelin basic protein; and
- 8 f) HIV-1 GP 120 peptide.
- 1 32. The compound of claim 31 wherein the Myelin
- 2 proteolipid protein peptide is selected from the group
- 3 consisting of PLP peptide 139-151 and PLP peptide 190-209,
- 4 the Moth cytochrome C peptide is peptide MCC 94-103, the
- 5 myelin basic protein peptide is MBP peptide 1-11, and the
- 6 tetanus toxin peptide is selected from the group consisting
- 7 of tetanus toxoid peptide and P2 tetanus toxoid peptide.
- 1 33. The compound of claim 17 wherein the naturally
- 2 occurring receptor is a T cell surface receptor.
- 1 34. The compound of claim 33 wherein the T cell surface
- 2 receptor is selected from the group consisting of TCR/C3,
- 3 CD4, CD8, CD10, CD26, CD28, and (D45).

W

## 1 35. A compound, having the structure



- 2 wherein D/is, independently, selected from the group
- 3 consisting of NH and NH2, wherein N represents any isotope
- 4 of nitrogen, wherein H represents any isotope of hydrogen;
- 5 "-" is, independently, selected from the group consisting of
- 6 a single bond and a double bond; B represents,
- 7 independently, any isotope of boron; A1 is, independently,
- 8 selected from the group consisting of a C, a CX moiety and
- 9 an N, wherein C represents any isotope of carbon, wherein X
- 10 represents any atom capable of forming a single bond with C;
- 11 each A2, A3, and A4 are, independently, selected from the
- 12 group consisting of a CX moiety, a CXZ moiety, a CZ moiety,
- an NX moiety, and an O, wherein X and Z, independently, are
- 14 selected from the group consisting of any atom capable of

15	forming a si	ngle bond and any atom capable of forming a	
16	double bond with C or N and wherein O represents any isotope		
17	of oxygen; w	herein each Y1 and Y2 are, independently,	
18	selected fro	om the group consisting of a hydroxyl moiety and	
19	any reactive	e moiety that converts to a hydroxyl moiety under	
20	physiologic	conditions; n represents an integer between 1	
21	and 200, inc	clusive;	
22	wherein E1 a	and E3 are distinct reactive species in which:	
23	(a)	R and R' comprise the remainder of the	
24		molecules not relevant to this reaction;	
25	(b)	E1 is attached to R' by a covalent bond which	
26	(,	are together designated as E1-R' or R'-E1;	
27	(c)	E3 is attached to R by a covalent bond which	
28			
29	(b)	are together designated as E3-R or R-E3;	
30	(4)	R' represents the part of E1-R' not undergoing a chemical reaction;	
31	(e)		
32	(=)	R represents the part of R-E3 not undergoing a chemical reaction;	
33	(f)	V /	
34	(1)	E1 undergoes a chemical reaction with E3 to	
35		form the product E1 =E3 and a byproduct F,	
36		wherein F is selected from the group consisting	
37	()	of 2H+ and 2e, H2O, and any other byproduct;	
	(g)	where H is the cation of any isotope of	
38		hydrogen and e is an electron;	
39	(h)	where H represents any isotope of hydrogen and	
40		O represents any isotope of oxygen;	
41	(i)	where E1' and E3' are covalently bonded;	
42	(j)	E1 does not undergo a chemical reaction with	
43		another E1;	
44	(k)	É3 does not undergo a chemical reaction with	
45	/	another E3; and	
	/		

46	(1) E1 and E3 are selected from the group	
47	consisting of a carboxylate, amino, imidazole,	
48	sulfhydryl, aldehyde, ester, and any other	
49	reactive species;	
50	wherein [J]p, E2, [I]q and [G]m together comprise a linker	
51	moiety, and wherein [G]m, [J]p, and [I]q represent,	
52	independently, linker molecules (i) having a molecular	
53	weight ranging between about 100 daltons and about 2000	
54	daltons, (ii) having a span ranging from about 20 Å to about	
55	300 Å, and (iii) containing a chain of atoms selected from	
56	the group consisting of a combination of C, O, N, S, and Ph	
57	atoms, connected by single bonds or by double bonds in a	
58	manner that does not violate the laws of chemistry and	
59	wherein S represents any isotope of sulfur and Ph represents	
60	any isotope of phosphorous; and wherein m, p, and q	
61	represent, independently, an integer from 1 to 50,	
62	inclusive;	
63	and wherein E2 is selected from the group consisting of cx,	
64	CH, N, Phyz, Phu, and any other moiety capable of forming	
65	covalent bonds with [J], [G], and [I], and wherein:	
66	(a) C is any isotope of carbon;	
67	(b) X is any isotope of any atom capable of forming	
68	a single bond with carbon;	
69	(c) H is any isotope of hydrogen;	
70	(d) N is any isotope of nitrogen;	
71	(e) Ph is any isotope of phosphorous;	
72	(f) Y is any isotope of any atom capable of forming	
73	a single bond with phosphorous;	
74	(g) Z is any isotope of any atom capable of forming	
75	a single bond with phosphorous; and	

- a double bond with phosphorous.
- 1 36. The compound of claim 17 wherein the following
- 2 structures

and

- 3 represent, independently, a binding moiety, wherein R
- 4 represents the remainder of the molecule.
- 1 37. The compound of claim 35 wherein (a) [G]m is the
- 2 side chain of a D- or L- isomer of lysine, cysteine,
- 3 glutamic acid, aspartic acid, histidine, arginine,
- 4 glutamine, and asparagine; (b) E2 is D- or L- isomer of
- 5 lysine, cysteine, glutamic acid, aspartic acid, histidine,
- 6 arginine, glutamine, and asparagine; (c) E1 and E3 are

selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (d) E1 and E3 are distinct from each other.

38. The compound of claim 35 wherein (a) [G]m is the side chain of a D- or L- isomer of lysine, cysteine, glutamic acid, aspartic acid, histidine, arginine, glutamine, and asparagine; (b) E2 is selected from the group consisting of 2-carboxybutyl, 2-carboxypropyl, 2-aminobutyl, 2-aminopropyl, and a hydrocarbon chain with an amino or carboxy side chain; (c) [J]p and [I]q represent, independently, hydrocarbon chains; (d) E1 and E3 are selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (e) E1 and E3 are distinct from each other.

5

10

- 39. A method for stimulating activation or proliferation of human CD26-bearing lymphocytes, said method comprising contacting said lymphocytes with a proliferation or activation-inducing concentration of the compound of any of claims 1, 17, or 35.
- 40. The method of claim 39, wherein said contacting is carried out by administering said compound to a human patient suffering from a disease state characterized by inadequate lymphocyte activation or concentration.
  - 41. The method of claim 40, wherein said disease state is caused by HIV infection.
  - 42. The method of claim 40, wherein said compound is administered in conjunction with a second, different agent which stimulates activation or proliferation of said lymphocytes.
  - 43. The method of claim 40, wherein said compound is administered orally.
- 44. The method of claim 39, wherein said contacting of lymphocytes with said compound is carried out *in vitro*.
  - 45. The method of claim 40, wherein said disease state is a neoplasm, and said CD26-bearing lymphocytes are cytolytic T cells.

(dadd)

- 46. The method of claim 40, wherein said patient is suffering from side effects of chemotherapy, one of which side effects being depletion of lymphocytes.
- 47. The method of claim 40, wherein said patient suffers from kidney failure resulting in depletion of lymphocytes.
- 5 48. The method of claim 40, wherein said patient suffers from a bone marrow disorder resulting in lymphocyte depletion.

49. A compound having the formula I:

$$[P^{2}(R^{2})_{m}]_{n}$$
  $P^{1}R^{1}$ 

(I)

wherein P<sup>1</sup> represents a first targeting moiety that mimics the substrate binding site of a protease expressed on the surface of a cell involved in immune system modulation;

R<sup>1</sup> represents a reactive group that reacts with a functional group in the reactive center of the protease;

P<sup>2</sup> represents a second targeting moiety that may be the same or different from the first targeting moiety;

R<sup>2</sup> represents a second reactive group that may be the same or different from the first reactive group;

m = 0 or 1 and n = a whole number from 1 to 10.

- 50. The compound of claim 49, wherein  $P^2=P^1$  and  $R^2$  is absent or is different from  $R^1$ .
- 51. The compound of claim 49, wherein P selectively binds to a DP IV on a first cell and P<sup>2</sup> selectively binds to a major histocompatibility molecule on an antigen presenting cell.
  - 52. A vaccine comprising the compound of claim 51.

- 53. A pharmaceutical composition comprising the compound of claims 1, 17, 35 or 49, in a pharmaceutically acceptable carrier.
  - 54. A method for manufacturing a pharmaceutical composition comprising: placing the compound of claims 1, 17, 35, or 49 in a pharmaceutically acceptable carrier.

- 55. The method of claim 39, wherein administering comprises obtaining the T cells, bone marrow cells, stem cells or early lineage progenitor cells from the subject, contacting the isolated T cells with the compound ex vivo in an amount effective to stimulate the T cells, and reintroducing the T cells to the subject.
- 56. A method for treating an autoimmune condition comprising: administering the compound of claims 1 17, 34, or 49 to a subject in need of such treatment in an amount effective to inhibit the autoimmune condition in the subject

KAN )

